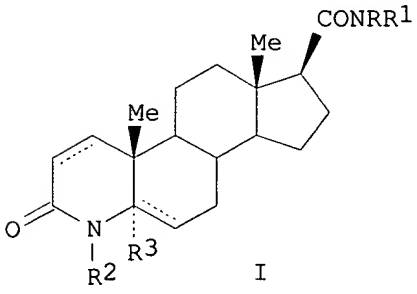
=> d bib abs hitstr l15 1

L15 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2000 ACS
AN 1999:451303 CAPLUS
DN 131:73842
TI Process for preparing carboxamido-4-azasteroids
IN Panzeri, Achille; D'Anello, Matteo; Longo, Antonio; Nesi, Marcella
PA Pharmacia & Upjohn Spa, Italy
SO PCT Int. Appl., 24 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9935161	A1	19990715	WO 1998-EP8527	19981217
	W: AL, AU, BA, BG, BR, CA, CN, CZ, EE, HU, ID, IL, JP, KR, LT, LV, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TR, UA, US, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	AU 9925146	A1	19990726	AU 1999-25146	19981217
	EP 970105	A1	20000112	EP 1998-966861	19981217
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, NL, SE, PT, IE, FI				
	NO 9904199	A	19991029	NO 1999-4199	19990830
PRAI	GB 1997-27522		19971231		
	WO 1998-EP8527		19981217		

OS CASREACT 131:73842; MARPAT 131:73842
GI



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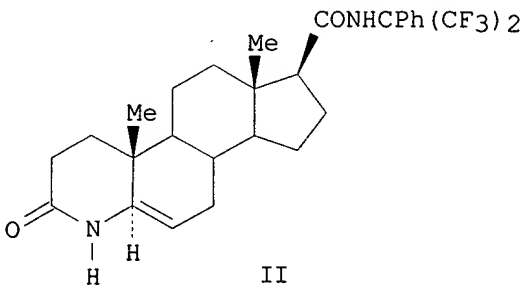


II

AB A process for producing azasteroids of formula I [R, R1 = H, (fluorine substituted) alkyl, (fluorine substituted) phenylalkyl, etc.; R2 = H, (fluorine substituted) alkyl; R3 = H, absent] comprises treating the corresponding 17.beta.-carbonylimidazole intermediates with anhyd. acids in the presence of an amine and, optionally, hydrogenating the resulting compd. Thus, 3-oxo-4-azaandrost-5-ene-17.beta.-carbonyl-1-imidazole was reacted with 1,1,1,3,3,3-hexafluoro-2-phenylprop-2-ylamine and methanesulfonic acid to give II.

IT **129273-17-2P 229183-12-4P**

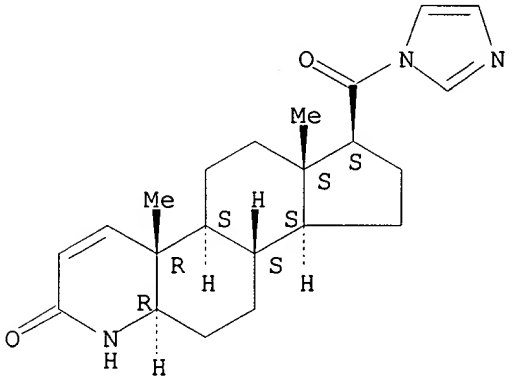
Searched by John Dantzman 308-4488

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. of 17.beta.-carboxamido-4-azasteroids)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

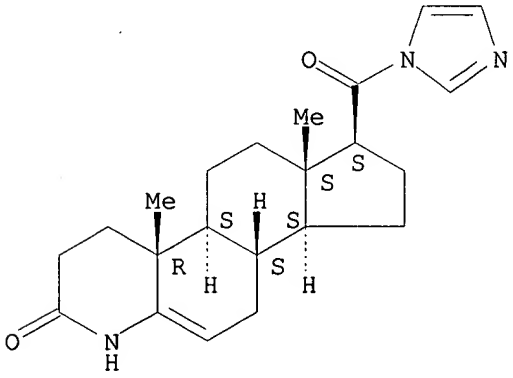
Absolute stereochemistry.



RN 229183-12-4 CAPLUS

CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS)-2,3,4,4a,4b,5,6,6a,7,8,9,9a,9b,10-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d bib abs hitstr l15 2

L15 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2000 ACS
AN 1994:457781 CAPLUS
DN 121:57781
TI Fluorinated 17.beta.-substituted 4-aza-5.alpha.-androstan-3-one derivatives useful as testosterone 5.alpha.-reductase inhibitors, and their preparation
IN Panzeri, Achille; Nesi, Marcella; Di Salle, Enrico
PA Farmitalia Carlo Erba S.R.L., Italy
SO PCT Int. Appl., 70 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9403475	A1	19940217	WO 1993-EP2037	19930729
	W: AT, AU, BB, BG, BR, BY, CA, CH, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
	CN 1085224	A	19940413	CN 1993-109305	19930729
	CN 1041096	B	19981209		
	ZA 9305481	A	19940516	ZA 1993-5481	19930729
	EP 607400	A1	19940727	EP 1993-917705	19930729
	EP 607400	B1	19971105		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, NL, PT, SE				
	HU 67043	A2	19950130	HU 1994-914	19930729
	AU 660562	B2	19950629	AU 1993-47048	19930729
	AT 159951	E	19971115	AT 1993-917705	19930729
	IL 106520	A1	19971120	IL 1993-106520	19930729
	ES 2110620	T3	19980216	ES 1993-917705	19930729
	NO 9401080	A	19940518	NO 1994-1080	19940324
	FI 9401424	A	19940527	FI 1994-1424	19940328
PRAI	GB 1992-16284		19920731		
	WO 1993-EP2037		19930729		

OS MARPAT 121:57781
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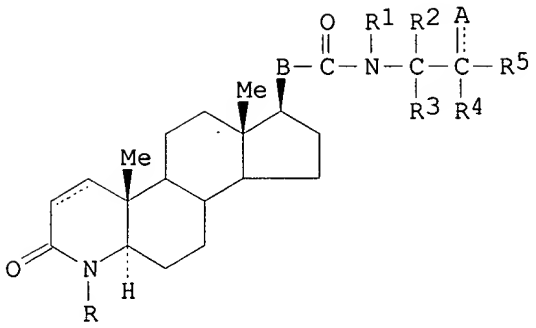

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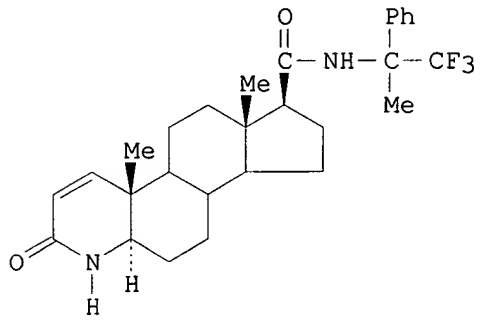


II

AB Title steroids I [B = bond, straight or branched C1-C6 alkylene; R = H, C1-C4 (fluoro)alkyl; R1 = H, C1-C6 (fluoro)alkyl, benzyl; R2 = (a) H, F, C1-C6 (fluoro)alkyl, C5-C7 cycloalkyl, C6-C9 cycloalkylalkyl; or (b) (un)substituted aryl or C7-C10 arylalkyl; R3 = (a) H, F, C1-C4 (fluoro)alkyl; or (b) (un)substituted aryl or C7-C10 arylalkyl; R4 = H, F,
or is absent when A is bound by double bond; R5 = H, F, C1-C6 (fluoro)alkyl; A = H, F, CR6R7R8, :CR6R7; R6, R7, R8 = H, F, C1-C6 (fluoro)alkyl; with the proviso that .gtoreq. 1 of groups R-R5 or A contains .gtoreq. 1 F atom], including 44 specifically named compds., are claimed, and several example prepns. are given. For example, S-(2-pyridyl) 3-oxo-4-aza-5.alpha.-andros-1-ene-17.beta.-carbothioate was treated with MeI in CH2Cl2 and then with (.+-.)-PhC(Me)(CF3)NH2 in DMF, and the mixt. was heated at 100.degree. for 8 h to give title compd. II. At 3 mg/kg/day orally, II gave 54% inhibition of testosterone-induced prostatic hypertrophy in castrated rats. Three std. pharmaceutical formulations are described.

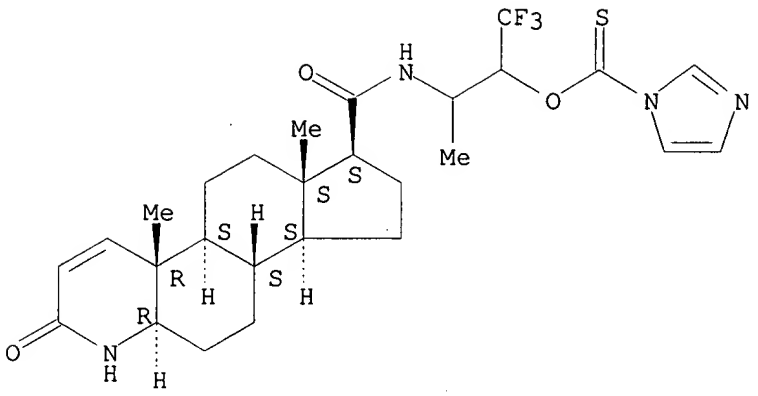
IT **155651-63-1P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and redn. of, in prepn. of antiandrogens)

RN 155651-63-1 CAPLUS

CN 1H-Indeno[5,4-f]quinoline-7-carboxamide, 2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,
11a-tetradecahydro-4a,6a-dimethyl-2-oxo-N-[3,3,3-trifluoro-2-(1H-imidazol-1-ylthioxomethoxy)-1-methylpropyl]-, (4aR,4bS,6aS,7S,9aS,9bS,11aR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

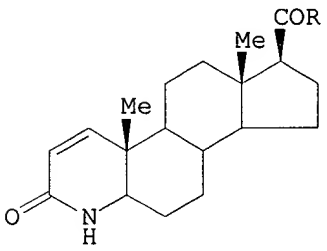
Searched by John Dantzman 308-4488



Searched by John Dantzman 308-4488

=> d bib abs hitstr l15 3

L15 ANSWER 3 OF 4 CAPLUS COPYRIGHT 2000 ACS
AN 1991:164608 CAPLUS
DN 114:164608
TI Acylimidazolides as versatile synthetic intermediates for the preparation of sterically congested amides and ketones: a practical synthesis of Proscar
AU Bhattacharya, A.; Williams, J. M.; Amato, J. S.; Dolling, U. H.; Grabowski, E. J. J.
CS Process Res. Dep., Merck Sharp and Dohme Res. Lab., Rahway, NJ, 07065, USA
SO Synth. Commun. (1990), 20(17), 2683-90
CODEN: SYNCAV; ISSN: 0039-7911
DT Journal
LA English
OS CASREACT 114:164608
GI



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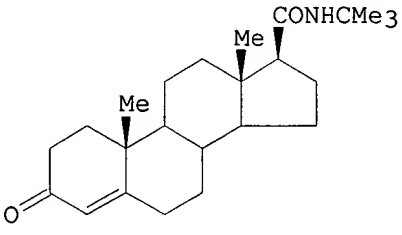
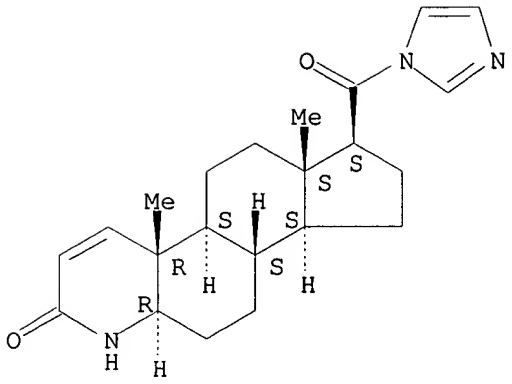


II

AB Acylimidazolides, e.g., I (R = 1-imidazolyl) react with magnesium amides to produce carboxamides in excellent yields, whereas Fe(III) catalyzed cross coupling between acylimidazolide and Grignard reagents produce ketones in high yields. These methods were utilized to prep. the .alpha.-reductase inhibitor Proscar I (R = NHCMe3), as well as various 17.beta.-amides, e.g., I (R = NEt2, NHR1; R1 = cyclohexyl, 2-adamantyl) and II, and ketone analogs I (R = sec-Bu, iso-Bu, iso-Pr, cyclohexyl) of .DELTA.1-4-aza-5.alpha.-androsten-3-one.
IT **129273-17-2**
RL: RCT (Reactant)
(condensation of, with Grignard reagents, amides and ketones from)
RN 129273-17-2 CAPLUS
CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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=> d bib abs hitstr l15 4

L15 ANSWER 4 OF 4 CAPLUS COPYRIGHT 2000 ACS
AN 1990:532584 CAPLUS
DN 113:132584
TI Preparation of 4-azo-chol-1-ene-3,20-dione derivatives as testosterone reductase inhibitors
IN Bhattacharya, Apurba; Dolling, Ulf H.; Amato, Joseph S.; Williams, John M.
PA Merck and Co., Inc., USA
SO Eur. Pat. Appl., 13 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 367502	A1	19900509	EP 1989-311066	19891026
	EP 367502	B1	19950913		
	R: AT, BE, CH, DE, ES, FR, GB, IT, LI, LU, NL, SE				
	US 5237061	A	19930817	US 1988-264652	19881031
	CA 1326013	A1	19940111	CA 1989-615350	19890929
	JP 02172999	A2	19900704	JP 1989-275124	19891024
	JP 07014959	B4	19950222		
	ES 2078909	T3	19960101	ES 1989-311066	19891026
	DK 8905395	A	19900501	DK 1989-5395	19891030
	DK 170734	B1	19951227		
PRAI	US 1988-264652		19881031		

OS MARPAT 113:132584
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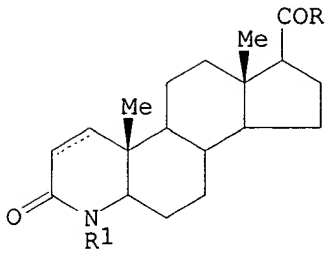

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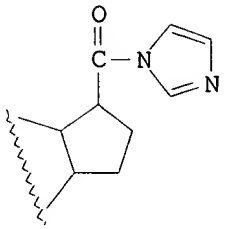

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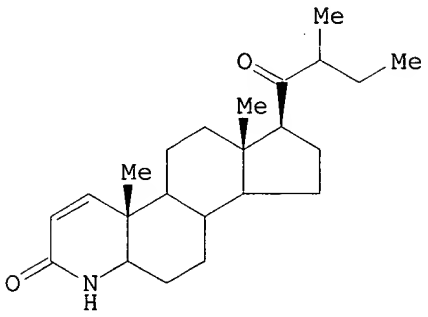


III

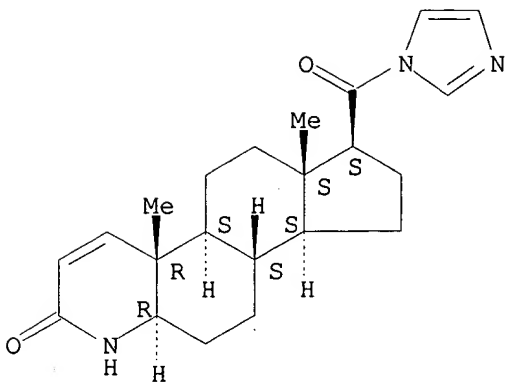
AB The title compds. [I; R = (hydroxy-, carboxy-, or alkyl ester-substituted)C1-12 alkyl, cycloalkyl, Ph, OH, alkoxy, PhCH2O, NH2; R1 = H, Me, Et; dotted line = optional double bond], useful as testosterone 5.alpha.-reductase inhibitors (no data), were prepd. by treatment of imidazolides II with Grignard reagents or with amines in the presence of Grignard reagents. Thus, 3-oxo-4-aza-5.alpha.-androst-1-ene 17.beta.-carboxylic acid in CH2Cl2 was treated with carbonyldiimidazole over 20 min and the mixt. was stirred an addnl. 20 min to give 91.5% of the corresponding carbonylimidazole. The latter, in THF at -40.degree., was treated with MeCH2CHMeMgCl; Fe(acac)3 in THF was then added at -15.degree. to give 58.3% azanorcholenedione III.

IT **129273-17-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)
(prepn. and alkylation of)

RN 129273-17-2 CAPLUS

CN 1H-Imidazole, 1-[[(4aR,4bS,6aS,7S,9aS,9bS,11aR)-2,4a,4b,5,6,6a,7,8,9,9a,9b,10,11,11a-tetradecahydro-4a,6a-dimethyl-2-oxo-1H-indeno[5,4-f]quinolin-7-yl]carbonyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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